

*contd.*

*a<sup>2</sup>* wherein said non-cyclic spacer separates A from one of said carbocyclic or heterocyclic radicals by 1 to 10 atoms;

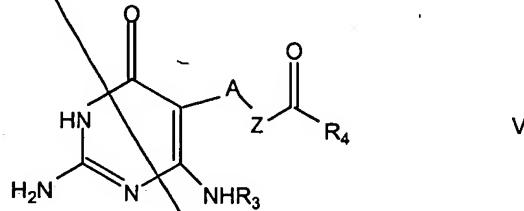
*Sub* R<sub>3</sub> represents H or a straight, branched or cyclic (C<sub>1</sub> to C<sub>6</sub>) alkyl group, optionally carrying one or more hydroxyl or amine groups; and

*B<sup>2</sup>* R<sub>4</sub> represents hydroxy, (C<sub>1</sub> to C<sub>6</sub>) alkyloxy group optionally carrying one or more hydroxyl or amine groups, or a protected or unprotected amino acid linked to the acyl group of formula V by the amine portion of the amino acid; or a pharmaceutically acceptable salt thereof.

*F604* 53. A compound according to Claim 52 wherein Z represents a substituted or unsubstituted mono- or fused or nonfused poly-heterocyclic radical.

*Sub* 54. A process for preparing a compound having the formula V

*B<sup>3</sup>* wherein:



A represents sulfur or selenium;

Z represents 1) a substituted or unsubstituted non-cyclic spacer which separates A from the carbonyl carbon of the amido group by 1 to 10 atoms, said atoms being independently selected from carbon, oxygen, sulfur, nitrogen and phosphorous; 2) a substituted or unsubstituted mono- or fused or nonfused poly-carbocyclic or heterocyclic radical; or 3) a combination of at least one of said non-cyclic spacer and at least one of said carbocyclic or heterocyclic radical;

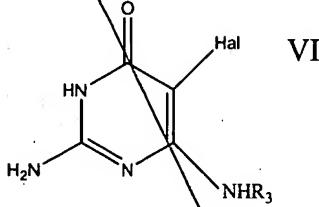
*contd.*  
*Q2*  
wherein said non-cyclic spacer separates A from one of said carbocyclic or heterocyclic radicals by 1 to 10 atoms;

*Sb*  
*B3*  
 $R_3$  represents H or a straight, branched or cyclic ( $C_1$  to  $C_6$ ) alkyl group, optionally carrying one or more hydroxyl or amine groups; and

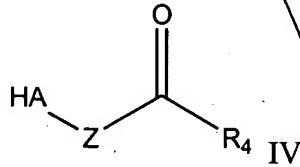
$R_4$  represents hydroxy, ( $C_1$  to  $C_6$ ) alkyloxy group optionally carrying one or more hydroxyl or amine groups, or a protected or unprotected amino acid linked to the acyl group of formula V by the amine portion of the amino acid;

or a pharmaceutically acceptable salt thereof;

which process comprises reacting a compound having the formula VI



wherein Hal is bromine, chlorine, iodine, or fluorine, and  $R_3$  is as defined above, with a compound having the formula IV



wherein A, Z, and  $R_4$  are as defined above, in the presence of a nonnucleophilic auxiliary base in a solvent in which at least one of said reactants is at least partially soluble under conditions sufficient to obtain the compound of formula V.

55. A process according to claim 54 wherein the non-nucleophilic auxiliary

*contd.*  
*a<sup>2</sup>*

base is selected from alkali or earth metal carbonates and trialkyl amines.

56. A process according to claim 55 wherein the solvent is a dipolar aprotic solvent.

57. A process according to claim 56 wherein the solvent is selected from dimethylsulfoxide, N,N-dimethylformamide, N,N-dimethylacetamide, and N-methyl-2-pyrolidinone.

58. A process according to claim 54 wherein A represents sulfur and Z represents  $-(CH_2)_n-X-Ar-$  wherein  
n is an integer from 0 to 5,  
X represents a methylene, monocyclic carbo- or heterocyclic ring, sulfur, oxygen or amino radical, optionally carrying one or more substituents independently selected from C<sub>1</sub> to C<sub>6</sub> alkyl or C<sub>2</sub> to C<sub>6</sub> alkenyl groups, C<sub>1</sub> to C<sub>6</sub> alkoxy or C<sub>1</sub> to C<sub>6</sub> alkoxy(C<sub>1</sub> to C<sub>6</sub>) alkyl groups, C<sub>2</sub> to C<sub>6</sub> alkynyl groups, acyl groups, halogen, amino groups, hydroxyl groups, nitro groups or mercapto groups, monocyclic carbo- or heterocyclic rings, and fused or non-fused poly-carbocyclic or poly-heterocyclic rings; and

Ar represents a monocyclic carbo- or heterocyclic aromatic ring or a bicyclic carbo- or heterocyclic ring, all or a portion of which may be aromatic, and wherein the Ar may be fused to the monocyclic carbo- or heterocyclic ring of X, and wherein the Ar optionally carries one or more substituents independently selected from C<sub>1</sub> to C<sub>6</sub> alkyl or C<sub>2</sub> to C<sub>6</sub> alkenyl groups, C<sub>1</sub> to C<sub>6</sub> alkoxy or C<sub>1</sub> to C<sub>6</sub> alkoxy(C<sub>1</sub> to C<sub>6</sub>) alkyl groups, C<sub>2</sub> to C<sub>6</sub> alkynyl groups, acyl groups,

*contd.*  
*a*  
*2*

*SuB  
B4*  
halogen, amino groups, hydroxyl groups, nitro groups or mercapto groups, monocyclic carbo- or heterocyclic rings, and fused or non-fused poly-carbocyclic or poly-heterocyclic rings.

59. A process according to claim 58 wherein the non-nucleophilic auxiliary base is selected from alkali or earth metal carbonates and trialkylamines.

60. A process according to claim 59 wherein the solvent is a dipolar aprotic solvent.

61. A process according to claim 60 wherein the solvent is selected from dimethylsulfoxide, N,N-dimethylformamide, N,N-dimethylacetamide, and N-methyl-2-pyrrolidinone.

REMARKS

The claims are 52-61.

Support for Claims 52-61 can be found in the Specifications and Claims as originally filed. No new matter has been added. Favorable consideration and early passage to issue is respectfully requested.